**PCT** WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 413/06, 263/20 — A1

(11) International Publication Number: WO 97/06162

(43) International Publication Date: 20 February 1997 (20.02.97)

(21) International Application Number: PCT/GB96/01885

(22) International Filing Date: 2 August 1996 (02.08.96)

(30) Priority Data:
9516145.1 7 August 1995 (07.08.95) GB

(71) Applicant *(for all designated States except US)*: THE WELLCOME FOUNDATION LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford, Essex UB6 0NN (GB).

(72) Inventor; and

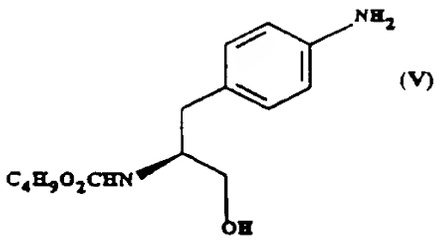
(75) Inventor/Applicant *(for US only)*: PATEL, Rajnikant [GB/GB]; Glaxo Wellcome plc, Temple Hill, Dartford, Kent DA1 5AH (GB).

(74) Agent: BASSETT, Richard; Eric Potter Clarkson, St. Mary's Court, St. Mary's Gate, Nottingham NG1 1LE (GB).

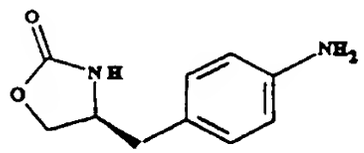
(81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

**Published**
*With international search report.*

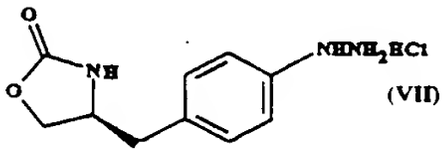
(54) Title: ONE POT SYNTHESIS OF 2-OXAZOLIDINONE DERIVATIVES



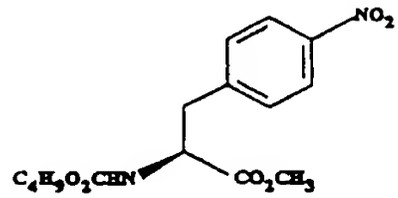
(V)



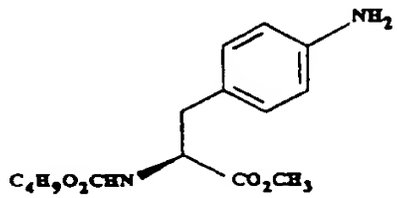
(VI)



(VII)



(III)



(IV)

(57) Abstract

The present invention provides an improved process for preparing (S)-4-{[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl}-2-oxazolidinone which comprises: a) forming a carbamate of formula (III), from methyl 4-nitro-(L)-phenylalaninate hydrochloride; b) reducing the compound of formula (III) to give the compound of formula (IV); c) reducing the methyl ester grouping in the compound of formula (IV) to give the compound of formula (V); d) ring closure of the compound of formula (V) to give the compound of formula (VI); e) diazonium salt formation from the compound of formula (VI) followed by reduction to give the compound of formula (VII), f) Fischer reaction of the compound of formula (VII) to give (S)-4-{[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl}-2-oxazolidinone.